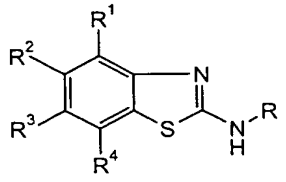
Case Docket No. 21436

Abstract

The present invention relates to a process for preparation of amino substituted benzothiazole derivatives of formula I



I

wherein

R^1, R^2 and R^3 are independently from each other hydrogen, lower alkyl, lower alkoxy or halogen;

R^4 is hydrogen, lower alkyl, lower alkyloxy, halogen,
or is a five or six membered non aromatic heterocyclyl group, unsubstituted or substituted by lower alkyl or an oxo-group, or is
$-NR^5R^6$, wherein R^5 and R^5 are independently from each other hydrogen, lower alkyl, -C(O)-lower alkyl, $-(CH_2)_nO$-lower alkyl or benzyl, opionally substituted by lower alkyl, or is an
five or six membered heteroaryl group;

R^1 and R^2 or R^2 and R^3 may form together with the corresponding carbon atoms a ring containing $-O-CH_2-O-$ or $-CH=CH-CH=CH-$;

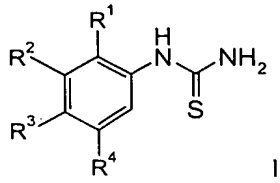
R is hydrogen or –C(O)R';

R' is a five or six membered non aromatic heterocyclyl group, five or six membered heteroaryl group or is aryl, which rings may be substituted by the groups, selected from lower alkyl, halogen-lower alkyl, lower alkoxy, cyano, nitro, -C(O)H, -C(O)OH or by pyrrolidin-1-yl-methyl;

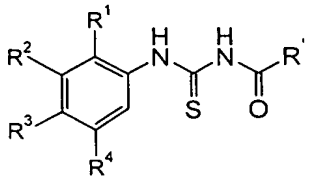
n is 1 to 4;

or a pharmaceutically acceptable salt thereof,

wherein the cyclization is carried out by the treatment of a compound of formula

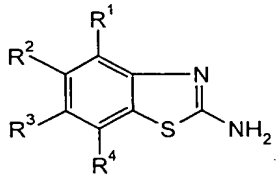


II or

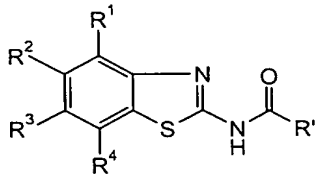


III

with sulphoxide/HBr/solvent to give the desired products of formula I for R is hydrogen (formula IA) or for R is –C(O)R' (formula IB)



I A or



IB

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